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      5 OCT 22
                 Current-awareness alert (SDI) setup and editing
                 enhanced
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     6 OCT 22
                 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
                 Applications
     7 OCT 24
                 CHEMLIST enhanced with intermediate list of
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                 pre-registered REACH substances
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         NOV 21
                 CAS patent coverage to include exemplified prophetic
                 substances identified in English-, French-, German-,
                 and Japanese-language basic patents from 2004-present
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NEWS 10 NOV 26 MEDLINE year-end processing temporarily halts
                 availability of new fully-indexed citations
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NEWS 13 DEC 01
                 ChemPort single article sales feature unavailable
NEWS 14 DEC 12
                 GBFULL now offers single source for full-text
                 coverage of complete UK patent families
NEWS 15
         DEC 17 Fifty-one pharmaceutical ingredients added to PS
NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
             AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.
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=> s gepirone

L1 3 GEPIRONE

=> d

- L1 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2009 ACS on STN
- RN 345894-78-2 REGISTRY
- ED Entered STN: 13 Jul 2001
- CN 2,6-Piperidinedione, 3-hydroxy-4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

OTHER NAMES:

- CN 3-Hydroxy-4, 4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]-2,6-piperidinedione
- CN 3-Hydroxygepirone
- CN 3-OH-gepirone
- DR 220763-68-8
- MF C19 H29 N5 O3
- CI COM
- SR CA
- LC STN Files: BIOSIS, CA, CAPLUS, CASREACT, TOXCENTER, USPAT2, USPATFULL

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

11 REFERENCES IN FILE CA (1907 TO DATE)

11 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d 2-3

L1 ANSWER 2 OF 3 REGISTRY COPYRIGHT 2009 ACS on STN

RN 83928-76-1 REGISTRY

ED Entered STN: 16 Nov 1984

CN 2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

OTHER NAMES:

CN Gepirone

DR 104699-09-4

MF C19 H29 N5 O2

CI COM

LC STN Files: ADISINSIGHT, ADISNEWS, ANABSTR, BEILSTEIN\*, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMINFORMRX, CIN, DDFU, DRUGU, EMBASE, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, PHAR, PROMT, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL (\*File contains numerically searchable property data)
Other Sources: WHO

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285 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

285 REFERENCES IN FILE CAPLUS (1907 TO DATE)

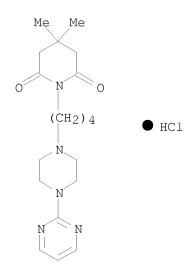
L1 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2009 ACS on STN

RN 83928-66-9 REGISTRY

ED Entered STN: 16 Nov 1984

CN 2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]-, hydrochloride (1:1) (CA INDEX NAME)
OTHER CA INDEX NAMES:

CMpiperazinyl]butyl]-, monohydrochloride (9CI) OTHER NAMES: BMY 13805 CN BMY 13805-1 CN Gepirone hydrochloride CN CN MJ 13805 CN Org 33062 DR 88895-49-2 MFC19 H29 N5 O2 . C1 H CI COM LC ADISINSIGHT, BEILSTEIN\*, BIOSIS, BIOTECHNO, CA, CAPLUS, STN Files: CASREACT, DDFU, DRUGU, EMBASE, IMSPATENTS, IMSRESEARCH, IPA, PHAR, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL (\*File contains numerically searchable property data) CRN (83928 - 76 - 1)



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36 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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=> sel rn l1 2-3 E1 THROUGH E2 ASSIGNED

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=> s e1-2

L2 497 (83928-66-9/BI OR 83928-76-1/BI)

=> s sexual or impotence or orgasm

L3 197828 SEXUAL OR IMPOTENCE OR ORGASM

=> s 12 and 13

L4 21 L2 AND L3

=> dup rem 14

PROCESSING COMPLETED FOR L4

L5 18 DUP REM L4 (3 DUPLICATES REMOVED)

=> d ibib abs 15-18

L5 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:408516 CAPLUS

DOCUMENT NUMBER: 136:406871

TITLE: As-needed administration of tricyclic and other

non-SRI antidepressant drugs to treat premature

0.68

17.78

ejaculation

INVENTOR(S): Tam, Peter; Gesundheit, Neil; Wilson, Leland F.

PATENT ASSIGNEE(S): Vivus, Inc., USA SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.		KIND	DATE		ì	APPL	ICAT	ION 1	. O <i>V</i>		D	ATE	
WO 20020418 WO 20020418		A2 A3	20020		1	WO 2	001-	US44	065		20	0011	121
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RW: GH, KG, GR,	GM, KE, KZ, MD,	LS, M RU, I LU, M	MW, MZ, IJ, TM, MC, NL,	SD, AT, PT,	BE, SE,	CH, TR,	CY,	DE,	DK,	ES,	FI,	FR,	GB,
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20020603 AU 2002-28643 20040218 EP 2001-989759 AU 2002028643 20011121 Α EP 1389115 A2 20011121 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR JP 2004536024 T 20041202 JP 2002-544062 20011121 AU 2002228643 В2 20060727 AU 2002-228643 20011121 PRIORITY APPLN. INFO.: A 20001121 US 2000-721412

AB A method is provided for treatment of premature ejaculation by administration of an antidepressant drug selected from tricyclic antidepressants, tetracyclic antidepressants, MAO inhibitors, azaspirone antidepressants, and atypical non-SRI antidepressants. In a preferred embodiment, administration is on as "as-needed" basis, i.e., the drug is administered immediately or at most several hours prior to sexual activity. Pharmaceutical formulations and packaged kits are also provided. An effervescent tablet contained clomipramine hydrochloride 300, sodium bicarbonate 1985, and citric acid 1000 mg. Efficacy of the compns. were tested in volunteers.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:833515 CAPLUS

DOCUMENT NUMBER: 137:333176

TITLE: As-needed administration of tricyclic and other

non-SRI antidepressant drugs to treat premature

WO 2001-US44065

W 20011121

ejaculation

INVENTOR(S): Tam, Peter; Gesundheit, Neil; Wilson, Leland F.

PATENT ASSIGNEE(S): Vivus, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S.

Ser. No. 721,412.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 20020161016	A1	20021031	US 2001-996407	20011121
	US 6946141	В2	20050920		
	US 6495154	В1	20021217	US 2000-721412	20001121
PRIOR	ITY APPLN. INFO.:			US 2000-721412 A2	2 20001121

AB A method is provided for treatment of premature ejaculation by administration of an antidepressant drug selected from tricyclic antidepressants, tetracyclic antidepressants, MAO inhibitors, azaspirone antidepressants, and atypical non-SRI antidepressants. In a preferred embodiment, administration is on as "as-needed" basis, i.e., the drug is administered immediately or at most several hours prior to sexual activity. Pharmaceutical formulations and packaged kits are also provided.

L5 ANSWER 17 OF 18 MEDLINE on STN DUPLICATE 3

ACCESSION NUMBER: 1999165645 MEDLINE DOCUMENT NUMBER: PubMed ID: 10064829

TITLE: Modification of sexual behavior of Long-Evans

male rats by drugs acting on the 5-HT1A receptor.

AUTHOR: Rehman J; Kaynan A; Christ G; Valcic M; Maayani S; Melman A

CORPORATE SOURCE: Department of Urology, Albert Einstein College of

Medicine/Montefiore Medical Center, 210th Street, Bronx,

New York, NY 10467, USA.

CONTRACT NUMBER: GM 34852 (United States NIGMS)

SOURCE: Brain research, (1999 Mar 13) Vol. 821, No. 2, pp. 414-25.

Journal code: 0045503. ISSN: 0006-8993.

PUB. COUNTRY: Netherlands

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 199904

ENTRY DATE: Entered STN: 26 Apr 1999

Last Updated on STN: 18 Jan 2003 Entered Medline: 13 Apr 1999

Modulation of the sexual behavior of male rats by the anxiolytic AΒ buspirone (S-20499) and its analog gepirone were compared to the effects of 8-OH-DPAT (or DPAT, a selective 5-HT1A reference agonist), and BMY-7378 (a selective 5-HT1A partial agonist). Long-Evans rats were used; modulation of copulatory behavior and alteration of penile reflexes were examined. Modulation of copulatory behavior was assessed by three indices: frequency and length of intromission, and latency of ejaculation. DPAT, at doses of 1-8 mg/kg, reduced these three indices in a time dependent manner such that the effects peaked at 45 min and normalized at 90 min. The dose-effect relationship (assessed 45 min after DPAT injection) is bell-shaped with an ED50 approximately 1 mg/kg on the ascending limb of the curve. The effects of buspirone (2 mg/kg) and gepirone (2 mg/kg) on copulatory behavior were indistinguishable from control. BMY-7378 alone and in combination with these other 5-HT1A agonists reduced copulatory behavior, though not statistically significant. Penile reflexes, including number of erections, cups and flips, were inhibited by these agents: DPAT>buspirone>gepirone (inactive at 2 mg/kg). Furthermore, the latency period to erection was at least doubled by DPAT (2 mg/kg). Buspirone and gepirone, however, reduced the latency period to erection. BMY-7378 inhibited penile reflexes when administered alone and even more in combination with DPAT or buspirone. Two butyrophenone analogs, spiperone (a 5-HT1A and dopamine D2 antagonist) and haloperidol (a D2 antagonist), were also tested for their interaction with DPAT. Both of these drugs (at 0.25 mg/kg, 60 min after administration) reduced all indices of penile reflexes and copulation. Furthermore, in combination with DPAT (2 mg/kg, 45 min), the effects were synergistic such that sexual activity came nearly to a standstill. These opposing effects on putatively brain originated copulatory behavior and spinal mediated penile reflexes indicate that the effects of buspirone and DPAT on sexual behavior in the male rat may be possible at different parts of the central nervous system. If a tentative shared target site by DPAT and buspirone is the 5-HT1A receptor, than the same 5-HT receptor sub-type at different locations (brain, raphe nuclei, spinal cord and autonomic ganglia) may modulate rat sexual behavior in opposing ways. Copyright 1999 Elsevier Science B.V.

L5 ANSWER 18 OF 18 MEDLINE on STN ACCESSION NUMBER: 1987133955 MEDLINE DOCUMENT NUMBER: PubMed ID: 2880737

TITLE: Effects of 5-HT1A selective anxiolytics on lordosis

behavior: interactions with progesterone.

AUTHOR: Mendelson S D; Gorzalka B B

SOURCE: European journal of pharmacology, (1986 Dec 16) Vol. 132,

No. 2-3, pp. 323-6.

Journal code: 1254354. ISSN: 0014-2999.

PUB. COUNTRY: Netherlands

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE) (RESEARCH SUPPORT, NON-U.S. GOV'T)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 198704

ENTRY DATE: Entered STN: 3 Mar 1990

Last Updated on STN: 6 Feb 1995 Entered Medline: 7 Apr 1987

AB Ipsapirone and gepirone, but not buspirone, facilitated lordosis in estrogen-treated rats, whereas all three drugs inhibited this behavior in rats treated with estrogen and progesterone. When administered at higher doses, ipsapirone, gepirone and buspirone inhibited lordosis in rats treated with either estrogen or estrogen and progesterone. These data are consistent with the proposal that 5-HT1A receptors mediate lordosis-inhibiting effects of 5-HT, and further suggest that some 5-HT1A agonists may facilitate lordosis by activity at autoreceptors. Finally, these data show that progesterone may modulate activity at 5-HT1A receptors.

=> d ibib abs 13-14

L5 ANSWER 13 OF 18 MEDLINE on STN DUPLICATE 1

ACCESSION NUMBER: 2004419402 MEDLINE DOCUMENT NUMBER: PubMed ID: 15323591

TITLE: Gepirone extended-release treatment of anxious depression:

evidence from a retrospective subgroup analysis in patients

with major depressive disorder.

AUTHOR: Alpert Jonathan E; Franznick Dana A; Hollander Steven B;

Fava Maurizio

CORPORATE SOURCE: Depression Clinical and Research Program, Massachusetts

General Hospital, Boston 02114, USA.. japlert@partners.org

SOURCE: The Journal of clinical psychiatry, (2004 Aug) Vol. 65, No.

8, pp. 1069-75.

Journal code: 7801243. ISSN: 0160-6689.

PUB. COUNTRY: United States
DOCUMENT TYPE: (CLINICAL TRIAL)

Journal; Article; (JOURNAL ARTICLE)
(RANDOMIZED CONTROLLED TRIAL)

(RESEARCH SUPPORT, NON-U.S. GOV'T)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 200409

ENTRY DATE: Entered STN: 25 Aug 2004

Last Updated on STN: 22 Sep 2004 Entered Medline: 21 Sep 2004

OBJECTIVE: To evaluate the efficacy and tolerability of gepirone AB extended-release (ER) tablets in patients with major depressive disorder (MDD) and high ratings of anxiety (anxious depression). METHOD: This subgroup analysis was derived from an 8-week, double-blind, placebo-controlled study of gepirone ER in patients with MDD. Male and female patients 18 to 69 years of age who met DSM-IV criteria for MDD and had high ratings of anxiety (Hamilton Rating Scale for Depression [HAM-D-17] total score > or = 20 and HAM-D-17 factor I [anxiety/somatization] score > 6) were included in this subgroup analysis. Eligible patients with anxious depression were randomly assigned to receive either placebo or gepirone ER, 20 mg to 80 mg daily. Patient assessments were performed at weeks 1, 2, 3, 4, 6, and 8. Treatment efficacy was evaluated by mean HAM-D-17 total scores and mean changes from baseline in (1) HAM-D-17 total scores, (2) HAM-D-17 factor I (anxiety/somatization) scores, and (3) HAM-D-17 item 12 (anxiety, psychic) scores. All statistical tests were 2-sided and considered statistically significant if the p value was <.05. Between-group comparisons were

analyzed using least-squares analysis of variance on the change from baseline at each visit with the last observation carried forward (LOCF). The Cochran-Mantel-Haenszel test adjusting for center was also performed on the percentage of patients in each treatment group at each visit (LOCF) who met the response criterion on the HAM-D-17 (> or = 50% decrease from baseline) or remission criterion (HAM-D-17 total score < or = 7). RESULTS: Gepirone ER-treated patients (N = 58) experienced a statistically significant (p < .05) reduction in mean HAM-D-17 total score at week 3, 6, and 8 compared with placebo-treated patients (N = 75). A statistically significant effect (p <.05) in favor of gepirone ER was observed in mean change from baseline in HAM-D-17 total scores and for HAM-D factor I (anxiety/somatization) scores from week 2 onward. A statistically significant (p < or =.01) effect in favor of gepirone ER was observed in HAM-D-17 item 12 (anxiety, psychic) scores throughout the 8-week trial. There were significantly more patients in the gepirone ER group compared with the placebo group who were HAM-D-17 responders (p <.05) at endpoint and who met the criteria for HAM-D-17 remission at week 3 (p <.05) and weeks 6 and 8 (p <.01). Overall, gepirone ER was well tolerated, with rates of weight gain and sexual dysfunction comparable to placebo. Adverse events were generally mild to moderate. commonly reported adverse events were dizziness and nausea. CONCLUSIONS: Gepirone ER is an effective and well-tolerated treatment for patients with anxious depression.

ANSWER 14 OF 18 MEDLINE on STN DUPLICATE 2

MEDLINE ACCESSION NUMBER: 2003198336 DOCUMENT NUMBER: PubMed ID: 12716264

TITLE: Gepirone extended-release: new evidence for efficacy in the

treatment of major depressive disorder.

Feiger Alan D; Heiser Jon F; Shrivastava Ram K; Weiss **AUTHOR:** 

Kenneth J; Smith Ward T; Sitsen J M A; Gibertini Michael

CORPORATE SOURCE: Feiger Health Research Center, Wheat Ridge, CO 80033, USA..

al@feigerresearch.com

SOURCE: The Journal of clinical psychiatry, (2003 Mar) Vol. 64, No.

3, pp. 243-9.

Journal code: 7801243. ISSN: 0160-6689.

PUB. COUNTRY: United States DOCUMENT TYPE: (CLINICAL TRIAL)

(COMPARATIVE STUDY)

Journal; Article; (JOURNAL ARTICLE) (RANDOMIZED CONTROLLED TRIAL) (RESEARCH SUPPORT, NON-U.S. GOV'T)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 200305

ENTRY DATE: Entered STN: 30 Apr 2003

Last Updated on STN: 13 May 2003 Entered Medline: 9 May 2003

OBJECTIVE: To assess the efficacy and tolerability of the 5-HT(1A) agonist AΒ gepirone in extended-release formulation (gepirone-ER) versus placebo in patients with major depressive disorder. METHOD: Patients aged 18 to 70 years were eligible if they satisfied DSM-IV criteria for moderate-to-severe major depressive disorder and had a baseline 17-item Hamilton Rating Scale for Depression (HAM-D-17) score > or = 20. After a 4- to 7-day placebo washout period, patients were randomly assigned to receive either placebo (N = 106) or gepirone-ER (20-80 mg/day) (N = 103) for 56 days. Assessments were done at weeks 1-4, 6, and 8. RESULTS: Mean change from baseline in HAM-D-17 score within the intent-to-treat group (gepirone, N = 101; placebo, N = 103) was significantly greater with gepirone-ER than placebo at weeks 3 (p = .013) and 8 (p = .018). Significantly (p <.05) more patients receiving gepirone-ER than placebo

were HAM-D-17 responders at weeks 3 (33.7% vs. 18.8%, respectively) and 4 (38.6% vs. 24.8%, respectively) and HAM-D-17 remitters at weeks 6 (24.8% vs. 13.9%, respectively) and 8 (28.7% vs. 14.9%, respectively). Mean change from baseline for HAM-D-25 total score was significantly (p < pr = .05) greater with gepirone-ER at all assessments except week 6. The proportion of HAM-D-25 responders was significantly greater (p < or =.05) with gepirone-ER at weeks 3 and 8. Gepirone-ER was well tolerated: 9.8% of the gepirone-ER group and 2.8% of the placebo group discontinued due to adverse events. Common adverse events were considered mild and included dizziness, nausea, and insomnia. Gepirone-ER did not differ statistically compared with placebo in weight gain or sedation. Furthermore, preliminary evidence suggested that gepirone-ER may not be associated with sexual dysfunction. No serious adverse events occurred in gepirone-treated patients. CONCLUSION: Gepirone-ER is effective for the short-term treatment of major depressive disorder and is well tolerated.